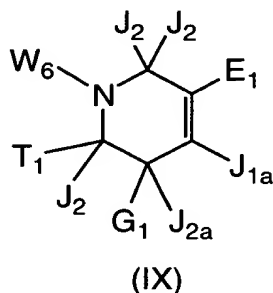


What is Claimed Is:

1. A composition comprising a compound of formula (IX):



wherein

E1 is $-(CR_1R_1)_{m1}W_1$;

G1 is N_3 , $-CN$, $-OH$, $-OR_{6a}$, $-NO_2$, or $-(CR_1R_1)_{m1}W_2$;

T1 is $-NR_1W_3$, or a heterocycle;

J1a are independently R_1 , Br , Cl , F , I , CN , NO_2 or N_3 ;

J2 and J2a are independently H or R_1 ;

R_1 is independently H or alkyl of 1 to 12 carbon atoms;

R_2 is independently R_3 or R_4 wherein each R_4 is independently substituted with 0 to 3 R_3 groups;

R_3 is independently F , Cl , Br , I , $-CN$, N_3 , $-NO_2$, $-OR_{6a}$, $-OR_1$, $-N(R_1)_2$, $-N(R_1)(R_{6b})$, $-N(R_{6b})_2$, $-SR_1$, $-SR_{6a}$, $-S(O)R_1$, $-S(O)_2R_1$, $-S(O)OR_1$, $-S(O)OR_{6a}$, $-S(O)_2OR_1$, $-S(O)_2OR_{6a}$, $-C(O)OR_1$, $-C(O)R_{6c}$, $-C(O)OR_{6a}$, $-OC(O)R_1$, $-N(R_1)(C(O)R_1)$, $-N(R_{6b})(C(O)R_1)$, $-N(R_1)(C(O)OR_1)$, $-N(R_{6b})(C(O)OR_1)$, $-C(O)N(R_1)_2$, $-C(O)N(R_{6b})(R_1)$, $-C(O)N(R_{6b})_2$, $-C(NR_1)(N(R_1)_2)$, $-C(N(R_{6b}))(N(R_1)_2)$, $-C(N(R_1))(N(R_1)(R_{6b}))$, $-C(N(R_{6b}))(N(R_1)(R_{6b}))$, $-C(N(R_1))(N(R_{6b})_2)$, $-C(N(R_{6b}))(N(R_{6b})_2)$, $-N(R_1)C(N(R_1))(N(R_1)_2)$, $-N(R_1)C(N(R_1))(N(R_1)(R_{6b}))$, $-N(R_1)C(N(R_{6b}))(N(R_1)_2)$, $-N(R_{6b})C(N(R_1))(N(R_1)_2)$, $-N(R_{6b})C(N(R_{6b}))(N(R_1)_2)$, $-N(R_{6b})C(N(R_1))(N(R_1)(R_{6b}))$, $-N(R_1)C(N(R_{6b}))(N(R_1)(R_{6b}))$, $-N(R_1)C(N(R_1))(N(R_{6b})_2)$, $-N(R_{6b})C(N(R_{6b}))(N(R_1)(R_{6b}))$, $-N(R_{6b})C(N(R_1))(N(R_{6b})_2)$, $-N(R_1)C(N(R_{6b}))(N(R_{6b})_2)$, $-N(R_{6b})C(N(R_{6b}))(N(R_{6b})_2)$, $=O$, $=S$, $=N(R_1)$ or $=N(R_{6b})$;

R_4 is independently alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms;

R_5 is independently R_4 wherein each R_4 is substituted with 0 to 3 R_3

groups;

R_{5a} is independently alkylene of 1 to 12 carbon atoms, alkenylene of 2 to 12 carbon atoms, or alkynylene of 2-12 carbon atoms any one of which alkylene, alkenylene or alkynylene is substituted with 0-3 R₃ groups;

5 R_{6a} is independently H or an ether- or ester-forming group;

R_{6b} is independently H, a protecting group for amino or the residue of a carboxyl-containing compound;

R_{6c} is independently H or the residue of an amino-containing compound;

10 W₁ is a group comprising an acidic hydrogen, a protected acidic group, or an R_{6c} amide of the group comprising an acidic hydrogen;

W₂ is a group comprising a basic heteroatom or a protected basic heteroatom, or an R_{6b} amide of the basic heteroatom;

W₃ is W₄ or W₅;

15 W₄ is R₅ or -C(O)R₅, -C(O)W₅, -SO₂R₅, or -SO₂W₅;

W₅ is carbocycle or heterocycle wherein W₅ is independently substituted with 0 to 3 R₂ groups;

20 W₆ is -R₅, -W₅, -R_{5a}W₅, -C(O)OR_{6a}, -C(O)R_{6c}, -C(O)N(R_{6b})₂, -C(NR_{6b})(N(R_{6b})₂), -C(NR_{6b})(N(H)(R_{6b})), -C(N(H)(N(R_{6b})₂), -C(S)N(R_{6b})₂, or -C(O)R₂; and

each m₁ is independently an integer from 0 to 2;

provided, however, that compounds are excluded wherein J_{1a} is H, each J₂ is H, J_{2a} is H and T₁ is -N(H)(Ac) and:

25 E₁ is -CO₂H or -CO₂CH₃,

G₁ is -OBoc, and

W₆ is Boc;

E₁ is -CO₂H or -CO₂CH₃,

30 G₁ is -OH, and

W₆ is H;

E₁ is -CO₂H, -CO₂CH₃ or -CO₂Bn

G₁ is -OH, and

35 W₆ is Boc;

20150520 09:53:23

E₁ is -CONH₂,
G₁ is -OH, and
W₆ is Boc or H;

5

E₁ is -CO₂H or -CO₂CH₃,
G₁ is OH, and
W₆ is Bn; or

10

E₁ is -CO₂H or -CO₂CH₃,
G₁ is -OH, and
W₆ is -CH₂CH(OH)CH₂(OH);

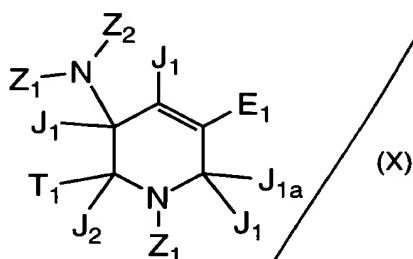
wherein Bn is benzyl and Boc is -CO₂C(CH₃)₃;

15

and the salts, solvates, resolved enantiomers and purified diastereomers thereof.

2007535-024097

2. A composition comprising a compound of formula (X):



wherein

5 one Z₁ is W₆ and the other Z₁ is G₁;

Z₂ is H or W₆;

E₁ is -(CR₁R₁)_{m1}W₁;

G₁ is -OH, -OR_{6a} or -(CR₁R₁)_{m1}W₂;

T₁ is -NR₁W₃ or a heterocycle;

10 J₁ and J_{1a} are independently R₁, Br, Cl, F, I, CN, NO₂ or N₃;

J₂ is H or R₁;

R₁ is independently H or alkyl of 1 to 12 carbon atoms;

R₂ is independently R₃ or R₄ wherein each R₄ is independently substituted with 0 to 3 R₃ groups;

15 R₃ is independently F, Cl, Br, I, -CN, N₃, -NO₂, -OR_{6a}, -OR₁, -N(R₁)₂,
-N(R₁)(R_{6b}), -N(R_{6b})₂, -SR₁, -SR_{6a}, -S(O)R₁, -S(O)₂R₁, -S(O)OR₁, -S(O)OR_{6a},
-S(O)₂OR₁, -S(O)₂OR_{6a}, -C(O)OR₁, -C(O)R_{6c}, -C(O)OR_{6a}, -OC(O)R₁,
-N(R₁)(C(O)R₁), -N(R_{6b})(C(O)R₁), -N(R₁)(C(O)OR₁), -N(R_{6b})(C(O)OR₁),
-C(O)N(R₁)₂, -C(O)N(R_{6b})(R₁), -C(O)N(R_{6b})₂, -C(NR₁)(N(R₁)₂),
20 -C(N(R_{6b}))(N(R₁)₂), -C(N(R₁))(N(R₁)(R_{6b})), -C(N(R_{6b}))(N(R₁)(R_{6b})),
-C(N(R₁))(N(R_{6b})₂), -C(N(R_{6b}))(N(R_{6b})₂), -N(R₁)C(N(R₁))(N(R₁)₂),
-N(R₁)C(N(R₁))(N(R₁)(R_{6b})), -N(R₁)C(N(R_{6b}))(N(R₁)₂),
-N(R_{6b})C(N(R₁))(N(R₁)₂), -N(R_{6b})C(N(R_{6b}))(N(R₁)₂),
-N(R_{6b})C(N(R₁))(N(R₁)(R_{6b})), -N(R₁)C(N(R_{6b}))(N(R₁)(R_{6b})),
25 -N(R₁)C(N(R₁))(N(R_{6b})₂), -N(R_{6b})C(N(R_{6b}))(N(R₁)(R_{6b})),
-N(R_{6b})C(N(R₁))(N(R_{6b})₂), -N(R₁)C(N(R_{6b}))(N(R_{6b})₂),
-N(R_{6b})C(N(R_{6b}))(N(R_{6b})₂), =O, =S, =N(R₁) or =N(R_{6b});

R₄ is independently alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms;

30 R₅ is independently R₄ wherein each R₄ is substituted with 0 to 3 R₃ groups;

R_{5a} is independently alkylene of 1 to 12 carbon atoms, alkenylene of 2 to 12 carbon atoms, or alkynylene of 2-12 carbon atoms any one of which alkylene, alkenylene or alkynylene is substituted with 0-3 R₃ groups;

R_{6a} is independently H or an ether- or ester-forming group;

5 R_{6b} is independently H, a protecting group for amino or the residue of a carboxyl-containing compound;

R_{6c} is independently H or the residue of an amino-containing compound;

10 W₁ is a group comprising an acidic hydrogen, a protected acidic group, or an R_{6c} amide of the group comprising an acidic hydrogen;

W₂ is H or a group comprising a basic heteroatom or a protected basic heteroatom, or an R_{6b} amide of the basic heteroatom;

W₃ is W₄ or W₅;

W₄ is R₅ or -C(O)R₅, -C(O)W₅, -SO₂R₅, or -SO₂W₅;

15 W₅ is carbocycle or heterocycle wherein W₅ is independently substituted with 0 to 3 R₂ groups;

W₆ is -R₅, -W₅, -R_{5a}W₅, -C(O)OR_{6a}, -C(O)R_{6c}, -C(O)N(R_{6b})₂, -C(NR_{6b})(N(R_{6b})₂), -C(NR_{6b})(N(H)(R_{6b})), -C(N(H)(N(R_{6b})₂), -C(S)N(R_{6b})₂, or -C(O)R₂;

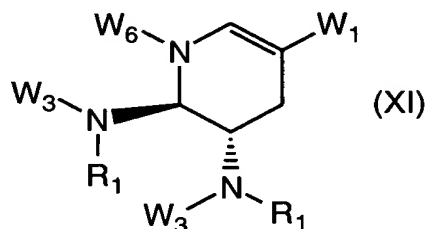
20 each m₁ is independently an integer from 0 to 2;
and the salts, solvates, resolved enantiomers and purified diastereomers thereof.

3. The composition of Claim 1 wherein further excluded are compounds wherein G_1 is $-OH$, $-OR_{6a}$.

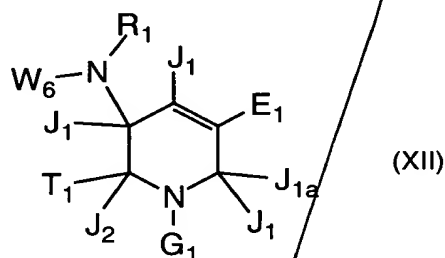
4. The composition of Claim 1 wherein G_1 is $-NR_1W_3$.

5

5. The composition of Claim 1 wherein the compound is of the formula:



10 6. The composition of Claim 2 wherein the compound is of the formula:

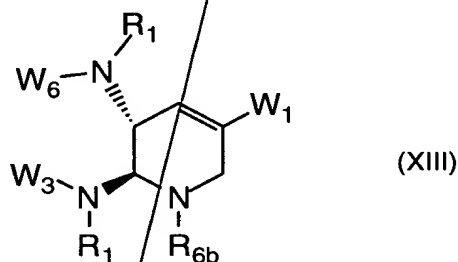


7. The composition of Claim 6 wherein G_1 is R_{6b} .

15

8. The composition of Claim 6 wherein R_1 is H.

9. The composition of Claim 2 wherein the compound is of the formula:



20

- Sub B1
10. The composition of Claim 1 or 2 wherein R_{6a} is H or a protecting group for hydroxyl or thio.
11. The composition of Claim 1 or 2 wherein W₆ is C₁-C₃ alkyl substituted with 1 to 3 OR_{6a} or SR_{6a}, which OR_{6a} or SR_{6a} groups are stable to hydrolysis in gastrointestinal fluid.
12. The composition of Claim 1 or 2 wherein W₆ is
 -(CH₂)_{m1}CH((CH₂)_{m3}R₃)₂, -(CH₂)_{m1}C((CH₂)_{m3}R₃)₃;
 10 -(CH₂)_{m1}CH((CH₂)_{m3}R_{5a}W₅)₂; -(CH₂)_{m1}CH((CH₂)_{m3}R₃)((CH₂)_{m3}R_{5a}W₅);
 -(CH₂)_{m1}C((CH₂)_{m3}R₃)₂(CH₂)_{m3}R_{5a}W₅, (CH₂)_{m1}C((CH₂)_{m3}R_{5a}W₅)₃ or
 -(CH₂)_{m1}C((CH₂)_{m3}R₃)((CH₂)_{m3}R_{5a}W₅)₂ and m₃ is an integer from 1 to 3.
13. The composition of Claim 1 or 2 wherein W₆ is -R₅, -W₅ or -R_{5a}W₅.
- 15 14. The composition of Claim 1 or 2 wherein W₆ is R₅.
15. The composition of Claim 14 wherein said R₅ is R₄ substituted with 0 to 3 -OR₁.
- 20 16. The composition of Claim 14 wherein said R₅ is R₄ substituted with 0 to 3 -NO₂ or N₃ groups.
17. The composition of Claim 15 wherein said -OR₁ is present and at least one of said R₁ is C₄-C₁₂.

- Sub B2
18. The composition of Claim 1 or 2 wherein W₆ is a branched chain R₅ group.
- 30 19. The composition of Claim 18 wherein said R₅ is a branched R₄ group.
- Sub B3
20. The composition of Claim 1 or 2 wherein W₆ is R_{5e} wherein R_{5e} is normal or secondary alkyl of 1 to 12 carbon atoms substituted with 1-3 OR_{1a} or SR_{1a} wherein R_{1a} is C₁-C₄ alkyl.
- 35 21. The composition of Claim 20 provided that when W₆ is R₅ substituted

with 1 to 3 R₃ groups and at least one R₃ group is OH, COOH, NH₂, C(O)H, C(O)NH₂, S(O)₂OH, S(O)OH, N(H)(C(O)OH), C(N(H))NH₂, N(H)(C(NH₂)N(H)), =O, or =N(H), then said R₅ is substituted with a single OH, COOH, NH₂, C(O)H, C(O)NH₂, S(O)₂OH, S(O)OH, N(H)(C(O)OH),
5 C(N(H))NH₂, N(H)(C(NH₂)N(H)), =O, or =NH group.

22. The composition of Claim 21 wherein said R₅ is alkyl of 4 to 8 carbon atoms substituted with 0 to 3 R₃ groups.

10 23. The composition of Claim 21 wherein said R₅ is substituted with 0 to 2 R₃ groups.

24. The composition of Claim 23 wherein said R₅ is substituted with 1 to 2 R₃ groups and at least one said R₃ group is -OH, -COOH, -NH₂, -C(O)H,
15 -C(O)NH₂, -S(O)₂OH, -S(O)OH, -N(H)(C(O)OH), -C(N(H))NH₂, -N(H)C((NH₂)N(H)), =O, or =NH.

Sub
20 25. The composition of Claim 1 or 2 wherein W₆ is R₄ having 1 to 7 carbon atoms.

26. The composition of Claim 1 or 2 wherein said W₆ is not C₁-C₃ alkyl substituted with OH or OH protected with an aralkyl, acyl, a silicon protecting group or a tetrahydropyran.

25 27. The composition of Claim 26 wherein the aralkyl protecting group is benzyl, triphenylmethyl or diphenylmethyl; the acyl group is acetyl; and the silicon protecting group is trimethylsilyl.

28. The composition of Claim 1 wherein
30 G₁ is -NHR₁, -N(R_{6b})(R₁), -N(R_{6b})₂, -N(H)(R₅), -N(R_{6b})(R₅), -N(R₅)₂-C(NH)(NH₂), -N(R₁)C(NR₁)(NR₁R₃), -NHC(NH)(NHR₃), -NHC(NH)(NHR₁), -NHC(NH)NH₂, -CH(CH₂NHR₁)(CH₂OH), -CH(CH₂NHR₁)(CH₂NHR₁), -CH(NHR₁)-(CR₁R₁)_{m2}-CH(NHR₁)R₁, -CH(OH)-(CR₁R₁)_{m2}-CH(NHR₁)R₁, or -CH(NHR₁)-(CR₁R₁)_{m2}-CH(OH)R₁,
35 -(CR₁R₁)_{m2}-S-C(NH)NH₂, -N=C(NHR₁)(R₃) or -N=C(NHR₁)(R₁); and m₂ is

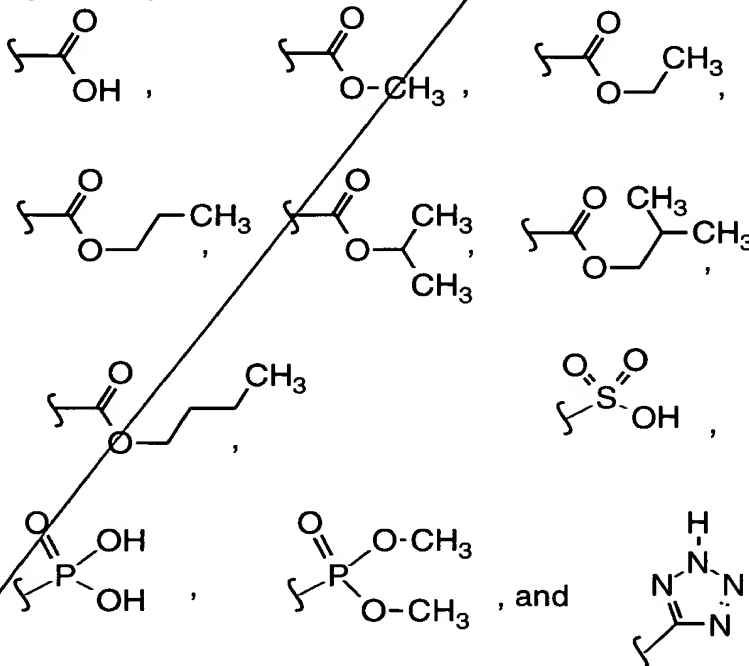
independently an integer from 0 to 1.

29. The composition of Claim 2 wherein

- 5 G_1 is H, $-NHR_1$, $-N(R_{6b})(R_1)$, $-N(R_{6b})_2$, $-N(H)(R_5)$, $-N(R_{6b})(R_5)$, $-N(R_5)_2$,
 $-C(NH)(NH_2)$, $-CH(CH_2NHR_1)(CH_2OH)$, $-CH(CH_2NHR_1)(CH_2NHR_1)$,
 $-CH(NHR_1)-(CR_1R_1)_{m2}-CH(NHR_1)R_1$, $-CH(OH)-(CR_1R_1)_{m2}-CH(NHR_1)R_1$,
 or $-CH(NHR_1)-(CR_1R_1)_{m2}-CH(OH)R_1$, or $-(CR_1R_1)_{m2}-S-C(NH)NH_2$; and m_2
 is independently an integer from 0 to 1.

10 30. The composition of Claim 1 or 2 wherein W_1 is $-CO_2R_1$.

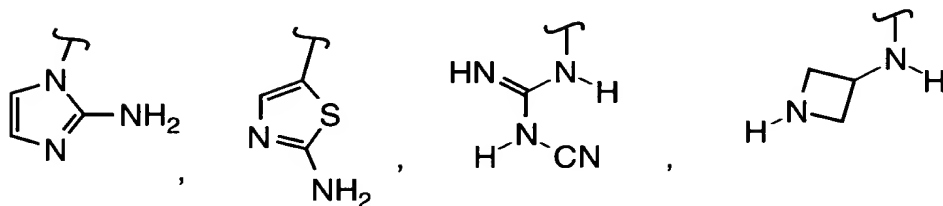
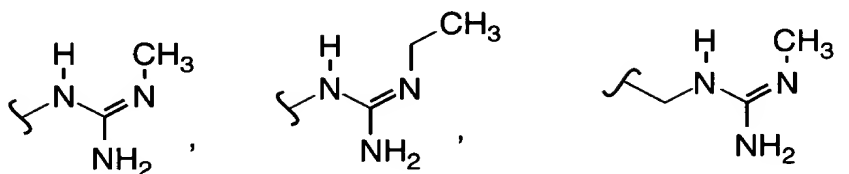
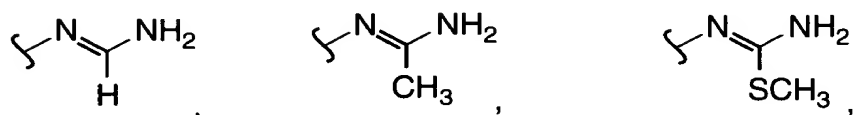
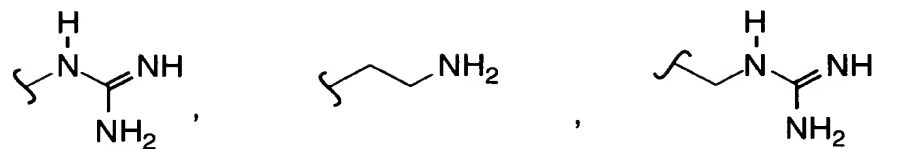
31. The composition of Claim 1 or 2 wherein E_1 is selected from the group consisting of: phenethyl ester of carboxyl,

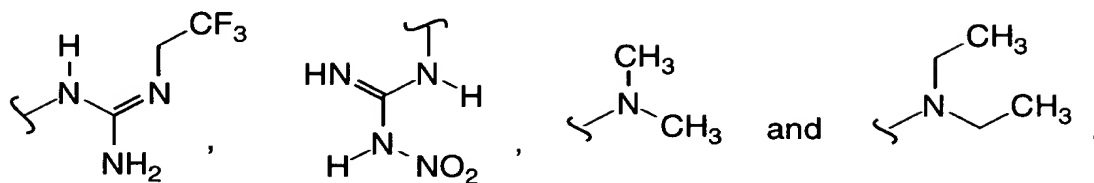
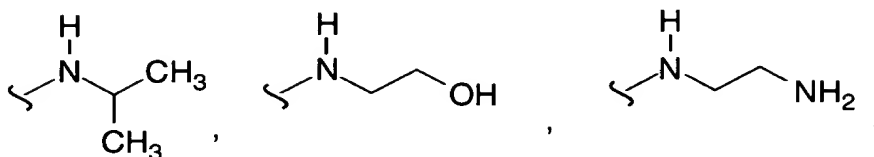
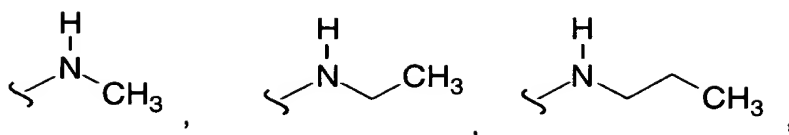


15

32. The composition of Claim 1 wherein G_1 is amino, amidino or guanidino, or amino, amidino or guanidino substituted with $C_1 - C_6$ alkyl.

20 33. The composition of Claim 1 wherein G_1 is selected from the group consisting of: C_1 - C_6 monoalkylamine,

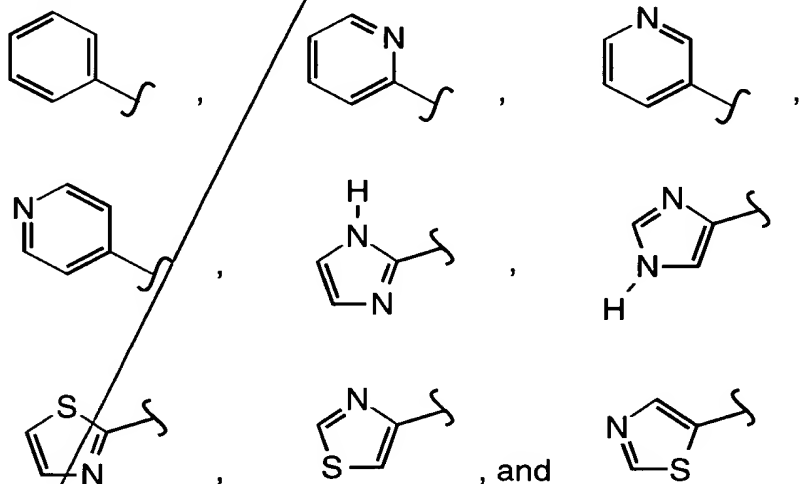




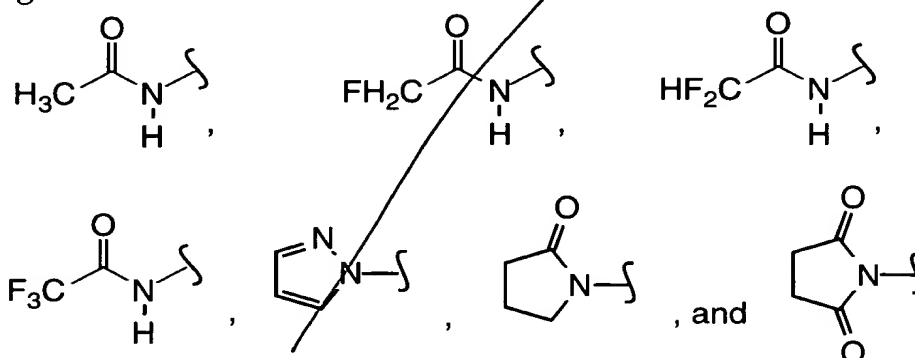
34. The composition of Claim 1 or 2 wherein W₃ is -C(O)-R₅.

35. The composition of Claim 1 or 2 wherein W₆ is an alkyl of 1 to 6 carbon atoms substituted with 0 to 3 F, Br, Cl, N₃, NO₂ or CN.

36. The composition of Claim 1 or 2 wherein W₅ is selected from the group consisting of:



37. The composition of Claim 1 or 2 wherein T₁ is selected from the group consisting of:



38. The composition of Claim 2 wherein J₁ is H, C₁-C₂ alkyl or F.

~~39. The composition of Claim 1 or 2 wherein J_{1a} is H.~~

40. The composition of Claim 1 wherein J_{2a} is H or C₁-C₂ alkyl.

41. The composition of Claim 1 wherein J_{2a} is H.

42. The composition of Claim 1 or 2 wherein W₆ is secondary or tertiary alkyl containing 4 to 12 carbon atoms which W₆ is unsubstituted or substituted with NO₂, N₃, F, Br, Cl, OR₁ or SR₁.

43. The composition of Claim 42 which is substituted with nitro, azido or F.

- ~~44. The composition of Claim 1 or 2 wherein W₆ is -(CH₂)_{m1}CH(R₁)_aW₇ wherein W₇ is an alkyl of 1 to 4 carbon atoms substituted with 0 to 3 R₃, a is 0 or 1, and when a is 0 then W₇ is joined to CH by a double bond.~~

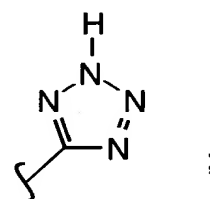
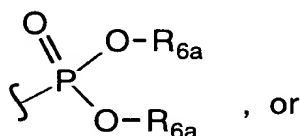
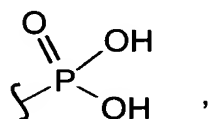
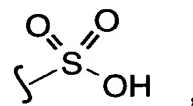
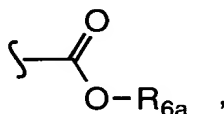
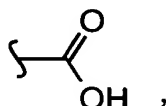
45. The composition of Claim 44 wherein W₆ is -CH₂CH(R₁)W₇.

46. The composition of Claim 45 wherein W₇ is -CH₂OR₁ and R₁ is C₄-C₁₂ alkyl.

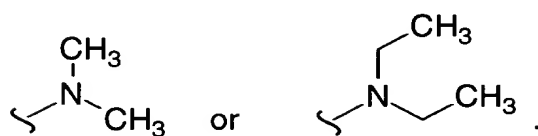
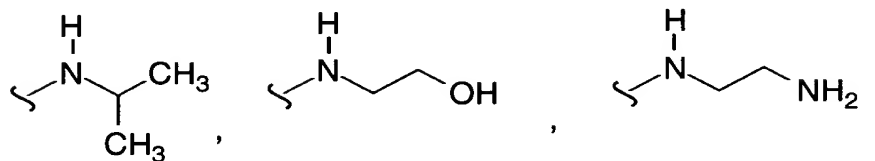
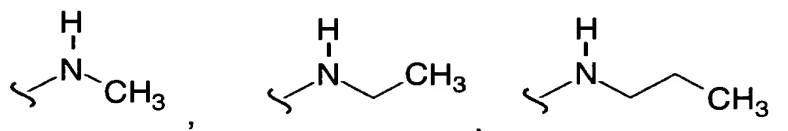
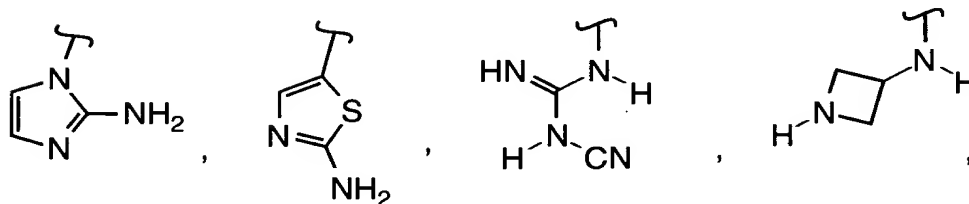
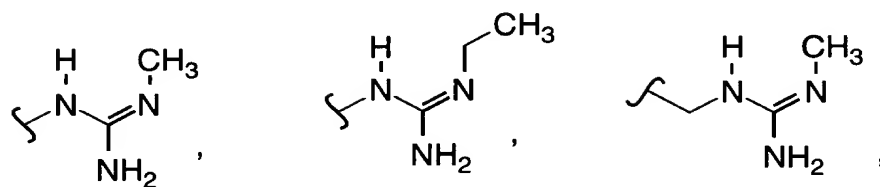
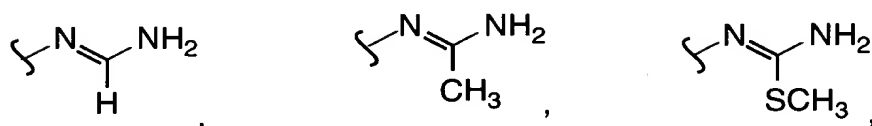
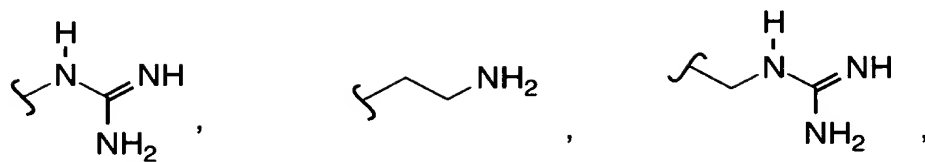
47. The composition of Claim 1 or 2 wherein W₆ is (CH₃CH₂)₂CH-, (CH₃CH₂)(CH₃)(H)C-, (CH₃)₂(H)C-, (CH₃)₂CHCH₂-, CH₃(CH₂)₄-, CH₃(CH₂)₃-, CH₃(CH₂)₂-, (CH₃CH₂)(CH₃)₂C-, (CH₃CH₂)(CH₃CH₂)(H)C-,

~~(CH₃CH₂CH₂)(CH₃CH₂)(H)C-, (CH₃CH₂CH₂)(CH₃CH₂CH₂)(H)C-,
(PhCH₂CH₂)(CH₃CH₂)(H)C-, (PhCH₂CH₂)(PhCH₂CH₂)(H)C-,
(PhCH₂)(CH₃CH₂)(H)C-, (PhCH₂)(PhCH₂)(H)C-, cyclohexyl- or cyclopentyl-.~~

- 5 48. The composition of Claim 1 wherein:
E₁ is -COOR₅,



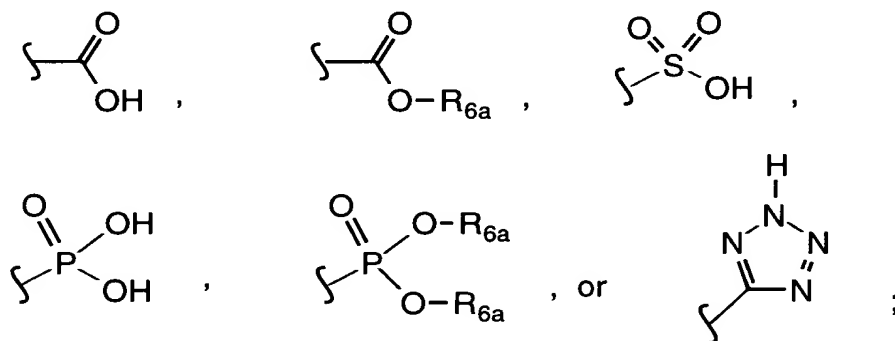
- 10 G₁ is -N(R₅)₂, -NH(R₅)₂,



and W₆ is an alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or
alkynyl of 2 to 12 carbon atoms and W₆ is substituted with 0 to 3 groups
selected from the group consisting of F, Cl, Br, I, -CN, NO₂, N₃, -OR_{6a},
5 -NR_{6b}R_{6b}, -SR_{6a}, -O-C(O)R_{6a}, or -NR_{6b}-C(O)R_{6a}.

49. The composition of Claim 48 wherein W₆ is selected from the group
consisting of (CH₃CH₂)₂CH-, (CH₃CH₂)(CH₃)(H)C-, (CH₃)₂(H)C-,
(CH₃)₂CHCH₂-, CH₃(CH₂)₄-, CH₃(CH₂)₃-, CH₃(CH₂)₂-, (CH₃CH₂)(CH₃)₂C-,
10 (CH₃CH₂)(CH₃CH₂)(H)C-, (CH₃CH₂CH₂)(CH₃CH₂)(H)C-,
(CH₃CH₂CH₂)(CH₃CH₂CH₂)(H)C-, (PhCH₂CH₂)(CH₃CH₂)(H)C-,
(PhCH₂CH₂)(PhCH₂CH₂)(H)C-, (PhCH₂)(CH₃CH₂)(H)C-,
(PhCH₂)(PhCH₂)(H)C-, cyclohexyl- or cyclopentyl-.

50. The composition of Claim 2 wherein:
E₁ is -COOR₅,



G₁ is H; and

W₆ is an alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms,
or alkynyl of 2 to 12 carbon atoms and W₆ is substituted with 0 to 3 groups
selected from the group consisting of F, Cl, Br, I, -CN, NO₂, N₃, -OR_{6a},
-NR_{6b}R_{6b}, -SR_{6a}, -O-C(O)R_{6a}, or -NR_{6b}-C(O)R_{6a}.

51. The composition of Claim 50 wherein W₆ is selected from the group
consisting of (CH₃CH₂)₂CH-, (CH₃CH₂)(CH₃)(H)C-, (CH₃)₂(H)C-,
(CH₃)₂CHCH₂-, CH₃(CH₂)₄-, CH₃(CH₂)₃-, CH₃(CH₂)₂-, (CH₃CH₂)(CH₃)₂C-,
(CH₃CH₂)(CH₃CH₂)(H)C-, (CH₃CH₂CH₂)(CH₃CH₂)(H)C-,

(CH₃CH₂CH₂)(CH₃CH₂CH₂)(H)C-, (PhCH₂CH₂)(CH₃CH₂)(H)C-,
(PhCH₂CH₂)(PhCH₂CH₂)(H)C-, (PhCH₂)(CH₃CH₂)(H)C-,
(PhCH₂)(PhCH₂)(H)C-, cyclohexyl- or cyclopentyl-.

52. The composition of Claim 1 or 2 wherein E₁ is -COOH, or a carboxyl ester or carboxylamide that is hydrolyzable *in vivo* to -COOH.

53. The composition of Claim 1 or 2 further comprising a pharmaceutically-acceptable carrier.

54. A compound named in Table 6.

55. A method of inhibiting the activity of neuraminidase comprising the step of contacting a sample suspected of containing neuraminidase with the composition of Claim 1 or 2.